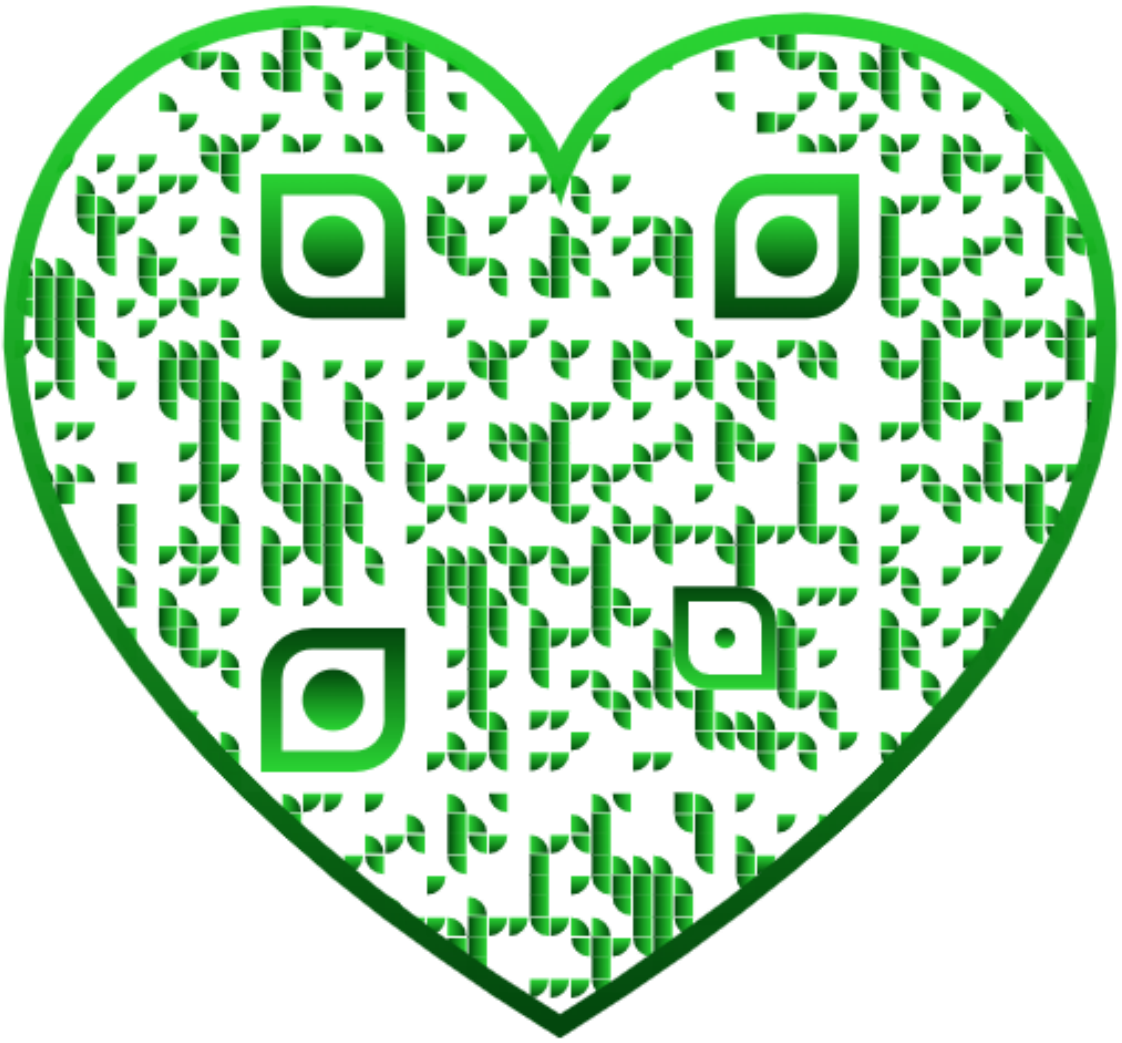
Tablet Coating



Introduction

- Definition:
  - Tablet coating is the application of a coating material to the exterior of a tablet,
  - The technology is also applicable to multi-particulate systems intended for modified-release applications.

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- Reasons for coating tablets:

1. Ingredients may need **protection** from the environment,
2. Coating is an efficient way to **mask** bitter/unpleasant tastes,
3. Colored coatings also mask any **batch differences** in the appearance of raw materials,
4. Coatings may be optimized with respect to coloration and gloss to aid to reinforce a marketing **brand identification**,

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5. Colored coatings aid in the rapid **identification** of product by the manufacturer, the dispensing pharmacist and the patient,

6. Coating tablets **facilitates** their handling on high speed automatic filling and packaging equipment,

7. Functional film coatings are used to impart **enteric** or **controlled-release** properties to the coated tablet or, more usually, to coated multiparticulates,

8. Reduce cross **contamination** in the manufacturing plant, as '**dusting**' from tablets is eliminated.

- Three main types of tablet coating are in use:

1. Film coating
2. Sugar coating
3. Press coating

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1. Film coating

- The more modern and generally used technology in tablet coating.
- Nearly all newly launched coated products are film coated.
- Film coating is deposition of a thin film of polymer surrounding the tablet core by spraying.

- The polymer is solubilized or dispersed in suitable liquid medium together with other ingredients such as pigments and plasticizers.
  - This solution/dispersion is sprayed on to a rotating, mixed tablet bed or fluid bed.
  - The drying conditions causes removal of the solvent giving thin deposition of coating material each tablet core.

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- It is possible to use conventional panning equipment
  - More usually specialized equipment is employed to take advantage of the fast coating times and high degree of automation possible

Coating liquid formulation

- Coating liquid (solution or suspension) formulation comprises:
    - Polymer
    - Plasticizer
    - Colorants
    - Solvent

Polymers

- Ideal characteristics of a film coating polymer:
  - For conventional film coating the polymer should have good **solubility** in aqueous fluids.
    - To facilitate the dissolution of API from the finished DF.
  - Polymers should have a low **viscosity** for a given concentration.
    - Permit the easy spraying of their solutions in industrial film coating equipment.

- Polymers should be efficient **barriers** against the permeability of water vapor or other atmospheric gases
- Polymers must have adequate **strength** to withstand the impact and abrasion encountered in normal handling
- Polymers should comply with the relevant regulatory and pharmacopoeial requirements of the intended marketing area

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- Polymers for film coating:

I. Cellulose derivatives

- Hydroxypropyl methylcellulose (HPMC)
  - Is the most widely used of the cellulosic polymers
  - Is soluble in aqueous media and forms films which are mechanically tough and relatively easy to apply
  - The resultant film can be clear or colored with permitted pigments
- Other cellulose derivatives: methylcellulose and hydroxypropyl cellulose.

II. Methacrylate amino ester copolymers

- Are insoluble in water below pH 4, but in neutral or alkaline media the films achieve solubility
- For simple formulations the disintegration of the coating can be optimized by the incorporation of water-soluble materials
- For coatings designed to confer a modified release aspect to the final dosage form, more water-insoluble polymers are used
    - These include ethylcellulose and the amino methacrylate copolymers

Plasticizers

- Added to film coating formulations to modify physical property of polymer and to decrease film brittleness
  - Exert their action by interposing themselves on a molecular scale between the polymer strands
  - Permit these strands to move more freely and allow the polymer to act in a more pliable fashion

- Examples of plasticizers:
  - polyols, such as PEG 400
  - organic esters, such as diethyl phthalate
  - oils/glycerides, such as fractionated coconut oil

Colorants

- Colorants use should be
  - FDA approved quality, safety
  - Chemically stable
  - Provide better opacity
  - Good covering power

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- Any permitted colorants in a film coat formula are invariably water-insoluble colors (pigments).
- Pigments have certain advantages over water-soluble colors
  - more chemically stable towards light
  - provide better opacity and covering power
  - optimize the impermeability of a given film to water vapor
- E.g. iron oxide pigments, titanium dioxide, aluminum Lakes

Solvents

- Modern techniques now rely on water as a solvent over organic solvents,
- The disadvantages of organic solvents for the process:
  - Environmental pollution
  - Safety
  - Financial
  - Solvent residues in the film

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- Basic process requirements for film coating:
  - Adequate means of atomizing the spray liquid for application to the tablet cores
  - Adequate mixing and agitation of the tablet bed
  - Sufficient heat input in the form of drying air to provide the latent heat of evaporation of the solvent
  - Good exhaust facilities to remove dust- and solvent-laden air

- Ideal characteristics of film-coated tablets:
  - Film-coated tablets should display an even coverage of film and color,
  - There should be no abrasion of tablet edges or crowns,
  - Logos and break lines should be distinct and not filled in,
  - The tablet must also be compliant with finished product specifications and any relevant compendial requirements.

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Coating faults (film coating)

a) Processing fault

- For example, inadequate drying conditions will permit coating previously deposited on the tablet surface to stick against neighboring tablets,
- Solved by:
  - Increasing mixing rate
  - Increasing drying rate

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b) Formulation faults

- Film cracking due to excess drying and using inadequate plasticizer
- Bridging of break lines due to viscosity and solved by increasing solvent amount

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2. Sugar coating

- It involves the successive application of sucrose-based solutions to tablet cores in suitable coating equipment.
- Conventional panning equipment with manual application or more specialized equipment and automated methods are used.

- Stages in sugar-coated tablets production:

1. Sealing of the tablet cores
2. Sub-coating
3. Smoothing
4. Coloring
5. Polishing
6. Printing

Optional

- Sealing of the tablet cores
  - Initially the tablet cores to be sugar coated are sealed against the entry of water by the application of a water-impermeable polymer.
    - The polymers are shellac, cellulose acetate phthalate and polyvinyl acetate phthalate.

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- Sub-coating
  - To attain the typically rounded profile of tablet the sealed tablet core must be built up.
  - This process is performed by adding bulking agents such as calcium carbonate or talc or gum acacia to the applied sucrose solutions.

- Smoothing
  - Sub-coated tablets will almost certainly have a rough surface
    - will have to be made smooth before the next stage can be commenced
    - accomplished by the application of a few coats of sucrose syrup

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- Coloring
  - Nearly all sugar-coated tablets are colored.
  - Gives the tablet its color and finished size.
- Polishing
  - A separate polishing stage of tablets to acquire an acceptable appearance.
  - Beeswax and carnauba wax are used in the process.

- Printing
  - To facilitate identification sugar-coated tablets are usually printed with a manufacturer's logo or code.
  - The printing process used is an offset gravure in conjunction with special edible inks or the inkjet process

- Ideal characteristics of sugar-coated tablets:
  - The tablets must comply with finished product specifications and any appropriate compendial requirements.
  - Sugar-coated tablets should ideally be of a perfectly smooth rounded contour with even color coverage.
  - Any printing should be distinct, with no broken print.

- Coating faults (Sugar Coating)
  - These are usually associated with process defects, such as splitting of the coat on storage
    - caused by inadequate drying during the coating application

Features	Sugar coating	Film coating
Tablets		
Appearance	Rounded with high degree of polish	Retains contour of original core. Usually not as shiny as sugar coat types
Weight increase due to coating materials	30–50%	2–3%
Logo or 'break' lines	Not possible	Possible
Other solid dosage forms	Coating possible but little industrial importance	Coating of multiparticulates very important in modified release forms
Process		
Stages	Multistage process	Usually single stage
Typical batch coating time	Eight hours, but easily longer	1.5–2 hours
Functional coatings	Not usually possible apart from enteric coating	Easily adaptable for controlled release

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3. Press coating

- Press coating involves the compaction of granular material around an already preformed core using compressing equipment similar to that used for the core itself.

- Press coating is used mainly to separate chemically incompatible materials.

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- It is possible to apply two press coatings to a tablet core using suitable equipment, e.g. Manesty Bicota
  - This equipment produces press-coated tablets with perfect separation between active core and coating, as the two can be separated by an inert middle layer

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- The formulation and processing of the coating layer requires some care.
  - Large or irregularly sized agglomerates of granules will cause the core to tilt in the second die used for compression of the coating.
  - Thus there is the possibility of an incomplete coating.
- The disadvantages of the process arise from the relative complexities of the mechanism used in the compressing equipment.

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Functional coatings

A. Controlled-release coatings

- Film coating provides an extremely effective way of conferring a controlled-release.
- Tablets or multi-particulate systems can be coated,
- After coating multi-particulate systems are filled into hard gelatin shells, or compressed into tablets.

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- The coatings involved use polymers with restricted water solubility or permeability.
- Multi-particulates find favor over conventional non-disintegrating tablets for controlled release:
  - Overcome irregular passage of whole tablets through the GIT and consequent irregular absorption,
  - Non-disintegrating tablets can be liable to lodge in restrictions within the GIT,
  - No dose damping

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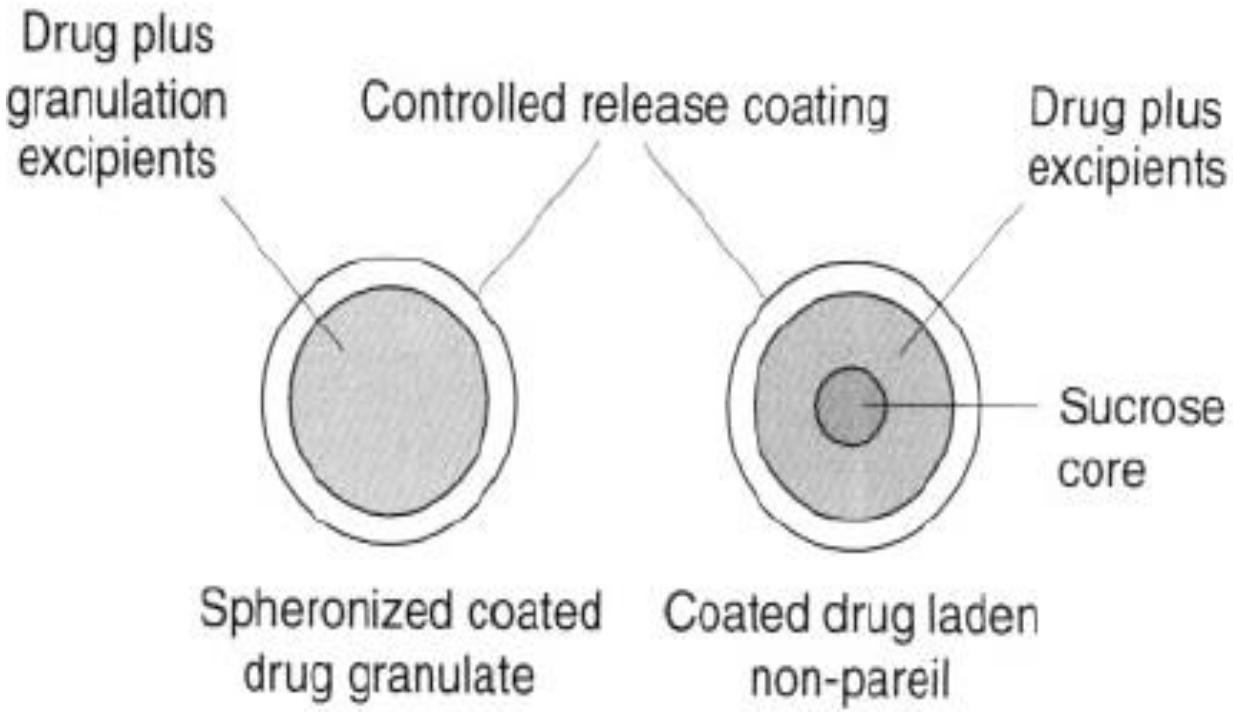
- Multi-particulates may be either of the following types:
  - Extruded/spheronized granulates
    - Produced in modified granulating equipment, with the drug granulation extruded through a mesh or other device under pressure to form small granulates which are subsequently spheronized
  - Non-pareils
    - Are sucrose spheres which are coated with the drug plus an adhesive yet water-soluble polymer.
    - After their formation and any necessary intermediate steps they may be coated with the controlled-release coating.


Drug plus granulation excipients
Controlled release coating
Drug plus excipients
Sucrose core
Spheronized coated drug granulate
Coated drug laden non-pareil

- Mechanisms of drug release from multi-particulates
  - Diffusion
    - On contact with the aqueous fluids of the GIT, water will enter the interior of the particle by diffusion.
    - Dissolution of the drug will occur and drug solution will diffuse across the controlled-release coat to the exterior.
  - Erosion
    - Some coatings can be designed to erode gradually with time, thereby releasing the drug contained within the pellet

- Osmosis
  - In allowing water to enter under the right circumstances, an osmotic pressure can be built up within the interior of the pellet.
  - If the coating contain micro-imperfections and cracks the drug will be forced out of the pellet to the exterior

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B. *Enteric coating*

- Enteric coating is possible using both sugar- and film-coating techniques.
- Enteric coating done for:
  - Prevention of acid attack on active constituents unstable at low pH;
  - To protect the stomach from the irritant effect of certain drugs;
  - To facilitate absorption of a drug that is preferentially absorbed distal to the stomach.

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- Polymers commonly used for the purposes of enteric coating:
  - Cellulose acetate phthalate
  - Polyvinyl acetate phthalate
  - Suitable acrylic derivatives
- Because they possess free carboxylic acid groups, they exhibit a differential pH solubility profile.

- Enteric film coating
  - The enteric polymers are capable of forming a direct film in a film-coating process.
  - Sufficient weight of enteric polymer must be used to ensure an efficient enteric effect.
    - Two or three times that required for a simple film coating.

- Enteric sugar coating
  - The sealing coat is modified to comprise one of the enteric polymers in sufficient quantity
  - The subcoating and subsequent coating steps are then as for conventional sugar coating

Coating equipments

- Tablet coating can be done by any of the following equipments.
  - **Pan coating** principle (coating pans: standard or perforated)
    - Acceta cota, Hi-coater, Dria coater, IDA, HTF/15
  - **Fluidized – bed** (air suspension) principle

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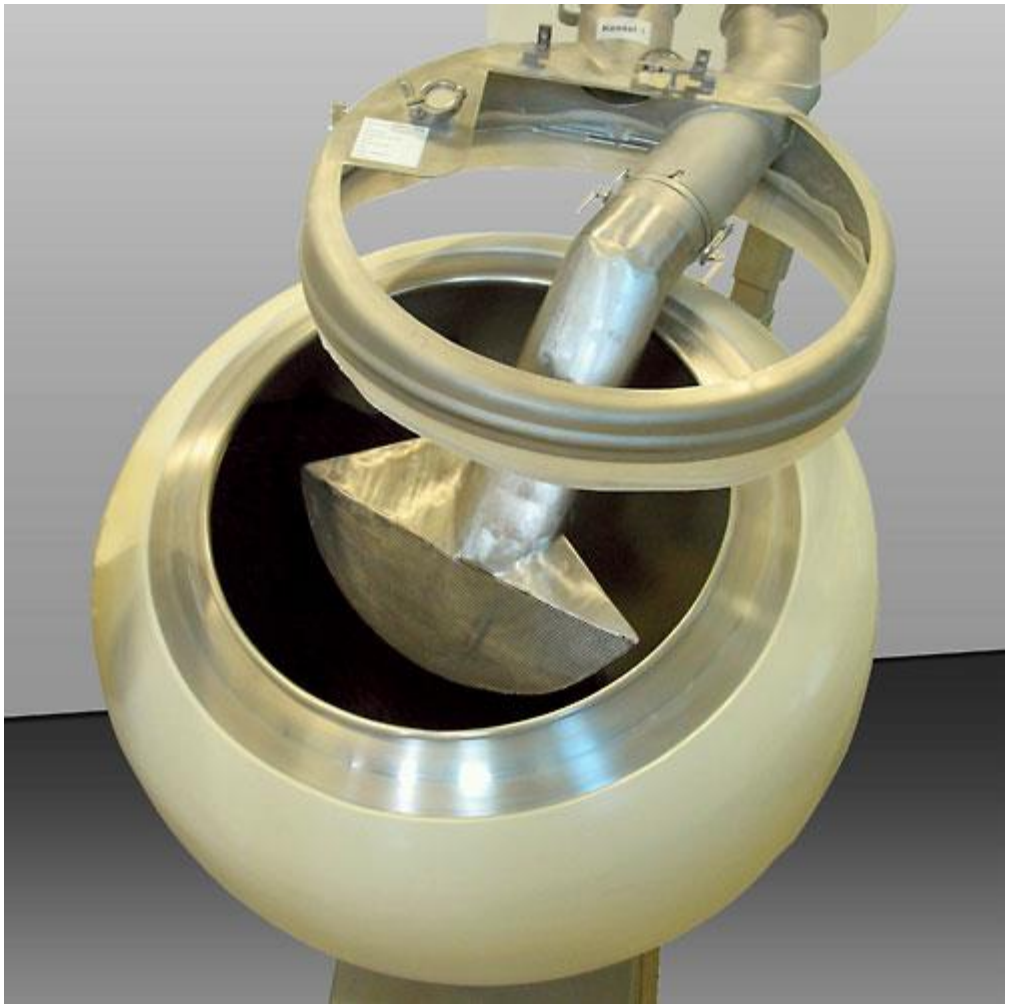
a) Pan coating

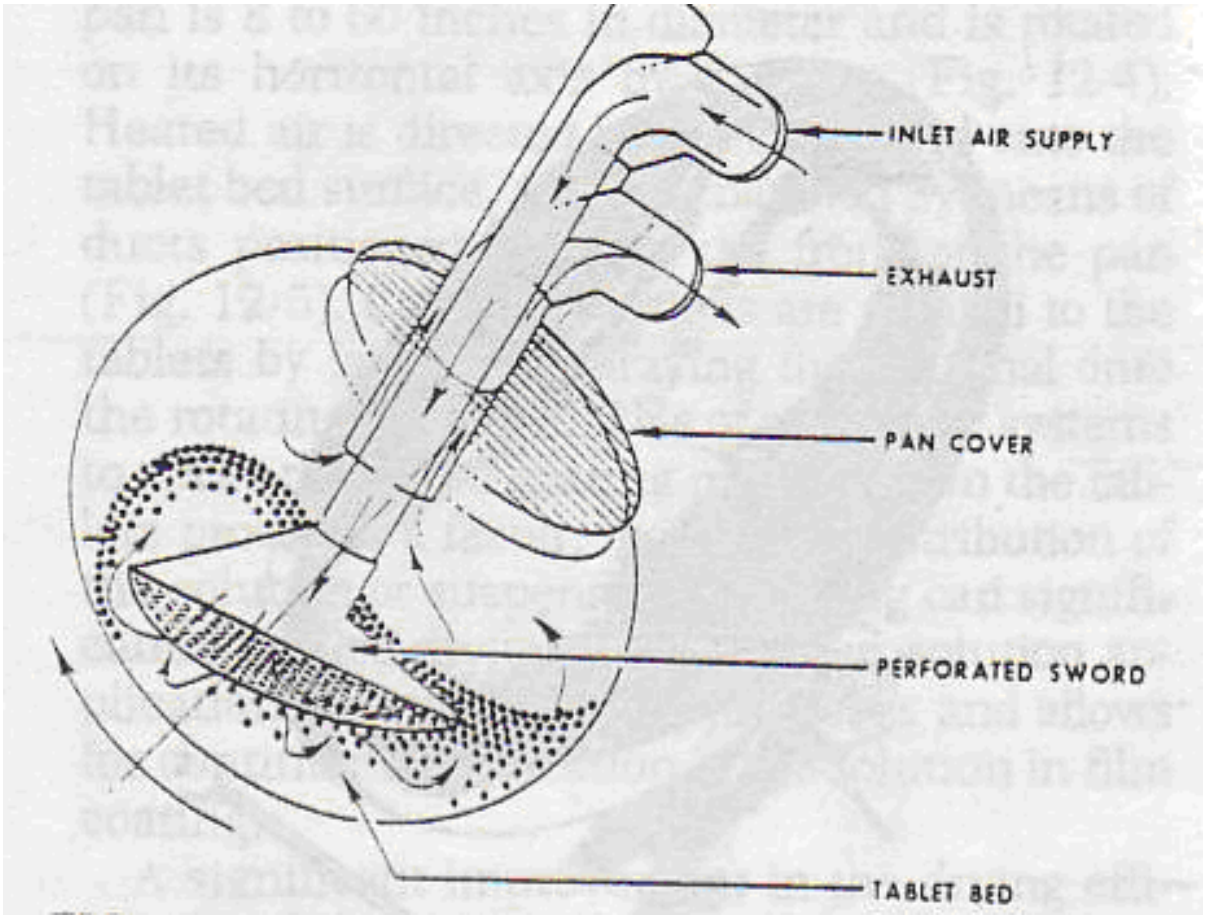
I. Standard pan coating

- Consists of circular metal pan mounted some what angularly on the stand (45^{O}).
- Heated air directed into the pan and on to the tablet bed surface and exhausted by means of duct positioned through the front of the pan.

- The most important standard coater that has improved drying efficiency are:
  - Immersion sword system
  - Immersion tube system

- Immersion sword system
  - Drying air is introduced through perforated metal sword that is immersed in the tablet bed.
  - Efficient drying is achieved.
  - Coating solutions are applied by an atomized spray system to the tablet bed.




INLET AIR SUPPLY
EXHAUST
PAN COVER
PERFORATED SWORD
TABLET BED

- Immersion tube system
  - The tube is immersed in to the tablet bed to deliver heated air and spray nozzle is built at the tip of the tube.
  - Relatively rapid processing time for both sugar and film coating.

- Uniformity of coating depends on:
  - Degree of mixing
  - Rotational speed
  - Air pressure
  - Viscosity of Coating solution

II. Perforated coating

- Consists of a perforated or partially perforated pans that is rotated on its horizontal axis in enclosed housing.
- Exhausted air exit back of tablet bed in this system.
- They are:
  - Efficient drying systems
  - High coating capacity
  - Can be completely automated both for sugar and film coating

- Include:
  - Acceta cota system
  - Hi-coater system
  - Dria coater pan

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b) Fluidized bed/air suspension system

- Principle is similar to that of fluidized bed drying
- Used for small tablets, granules because they are easily suspended.

- Advantage
  - Rapid coating for tablets, granules
  - High efficiency of drying system
- Limitation
  - Not suitable for friable tablets
  - Not suitable for large tablets (oblong tablets)

- Spray application
  - Coating solutions are sprayed from nozzle located at the bottom or upper region of chamber.
  - By two methods:

    1) High pressure airless

    2) Low pressure air atomized system

- High pressure airless:
  - Liquid is pumped at high pressure (250 – 3000 psi) through small orifice (0.009 – 0.02 inch diameter)
  - The degree of atomization and spray rate are controlled by:
    - Fluid pressure
    - Orifice size
    - Viscosity of liquid

- Low pressure air atomized system:
  - Liquid is pumped through relatively large orifice (0.02 - 0.06 inch) at low pressure (5-50 psi).
  - Low pressure air stream (10 – 100psi) contact liquid stream at the tip of atomizer and finely divided spray produced.

- Degree of atomization controlled by:
  - Fluid pressure
  - Fluid cap orifice
  - Viscosity of fluid
  - Air pressure
  - Air cap design

Glatt
Glatt
Charging process

Processing problems during coating

1) Sticking and Picking

- Due to over wetting or excessive film tackiness
- Solution:
  - Reduction in liquid application rate and volume
  - Increase drying air temperature
  - Air volume increment

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2) Roughness

- Due to drying of spray droplet before coating tablet.
- Droplet has no chance to coat because before coating they are dried.
- High polymer and pigment concentration
- Solution:
  - Move the nozzle closer
  - Reduce degree of atomization

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3) Orange peel effect

- Inadequate spreading of the coating solution, too rapid drying, high viscosity of coating solution.
- Solution:
  - Thinning the solution of the capsule length

- Other processing problems
  - Bridging and filling
  - Blistering
  - Hazing/dull film
  - Color variation
  - Cracking

- Further reading:
  - Special coating techniques
    - Dip coating
    - Electrostatic coating
    - Vacuum film coating